Biopharmaceutics Assignment

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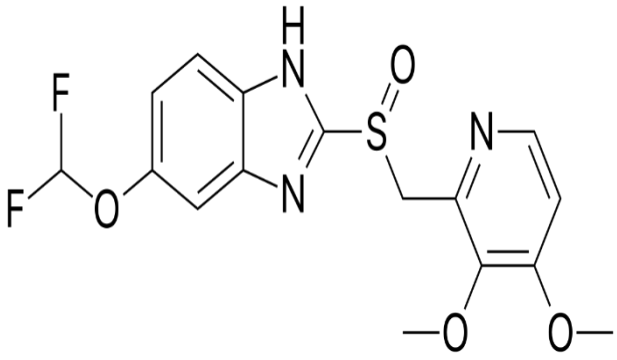
pantoprazole (Rx)

-Brand Names: Protonix
-Classes: Proton Pump Inhibitors



1- Dosage Forms & Strengths

-oral suspension (40mg/packet)
-powder for injection 40mg/vial
-tablet, delayed-release 20mg, 40mg

2- main uses

- Treatment of GERD:
(40 mg IV infusion over 15 minutes qDay for 7-10 days; switch to PO once patient able to swallow).
- Zollinger-Ellison Syndrome:
(40 mg PO qDay; up to 240 mg/day administered in some patients. 80 mg IV infusion q8-12hr up to 7 days; switch to PO once patient able to swallow).
- Peptic Ulcer Disease (Off-label)
Duodenal ulcer: 40 mg PO qDay for 2 weeks Gastric ulcer: 40 mg PO qDay for 4 weeks

3- Mechanism of Action:

PPI; binds to H+/K+-exchanging ATPase (proton pump) in gastric parietal cells, resulting in blockage of acid secretion.

4- Contraindications:

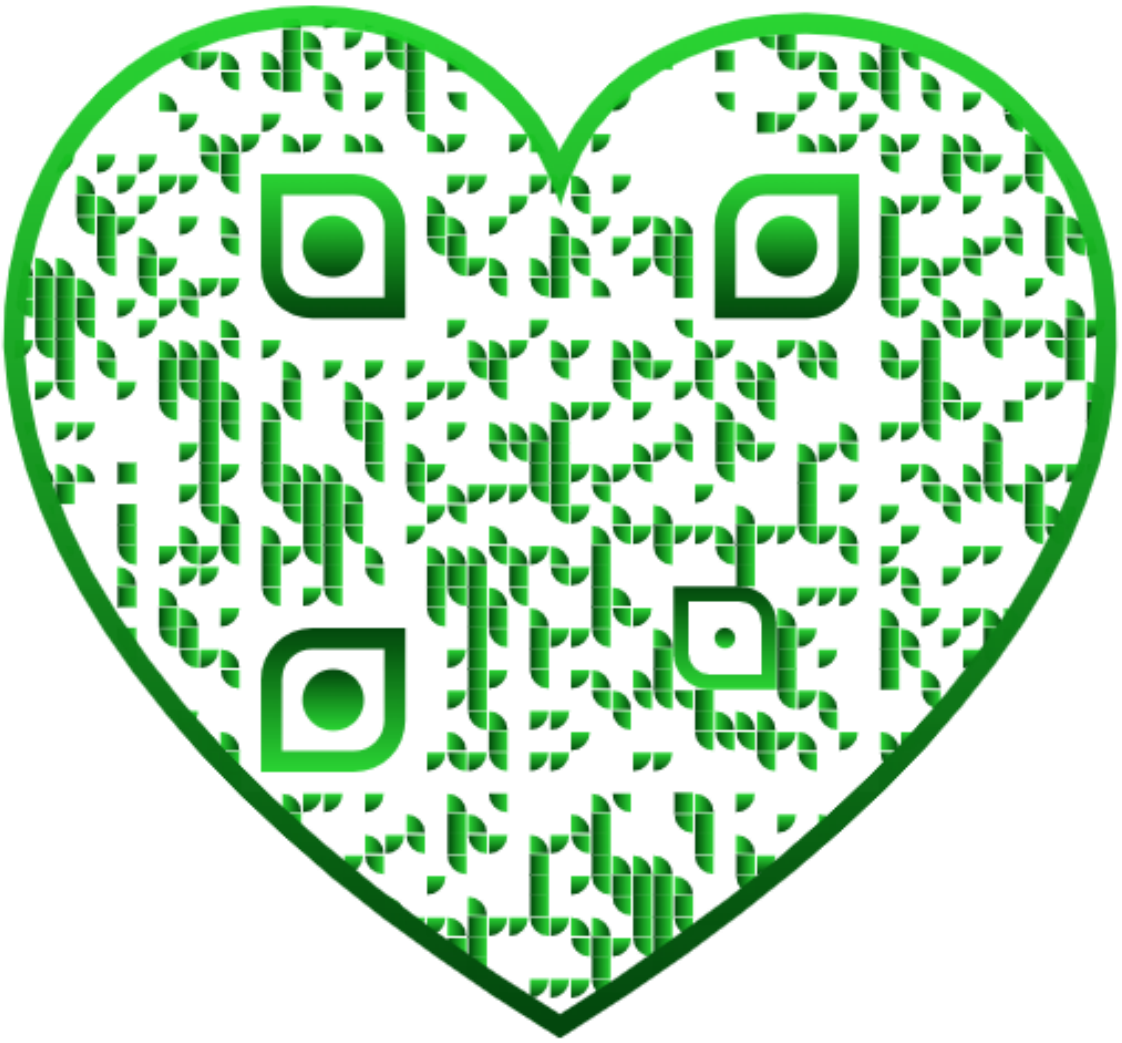
Hypersensitivity to pantoprazole or other proton pump inhibitors (PPIs), Concomitant administration with rilpivirine containing products

5- Absorption

- Pantoprazole is a lipophilic weak base that crosses the parietal cell membrane and enters the acidic parietal cell. (**passive transport)**.
Bioavailability: 77% (PO; neither food nor antacid alters bioavailability)
Onset (PO PUD): 24 hr (initial response)
Duration (PO at steady state): 7 days (PUD)
Peak plasma time: 2.8 hr (PO); at end of infusion (IV)

6- Distribution

Protein bound: 98%
vd = 11.0-23.6 L, distributing mainly in the extracellular fluid.



7- Metabolism : Metabolized extensively **by hepatic P450 enzyme CYP2C19; second pathway through CYP3A4.**

Cytochrome P-450 Enzymes	Substrates	Inhibitors	Inducers
CYP2C19	Amitriptyline, Carisoprodol Citalopram, Clomipramine Desmethyldiazepam, Warfarin, Diazepam, Hexobarbital, Imipra Propranolol, Sertraline, Voriconazole…etc	Chloramphenicol, Efavirenz, Cimetidine, Clopidogrel, Delav, Felbamate, Fluconazole, Isonia, Ticlopidine, Voriconazole, Omeprazole …etc	Barbiturate Phenytoin Rifampin

8- Drug Interactions:

A) Avoid concomitant use of Pantoprazole with any of the following: Acalabrutinib; Cefuroxime; Dacomitinib; Dasatinib; Delavirdine; Erlotinib; Nelfinavir; Neratinib; PAZOPanib; Pexidartinib; Rilpivirine; Velpatasvir.

B) Pantoprazole may decrease the levels/effects of: Acalabrutinib; Atazanavir; Bisphosphonate Derivatives; Bosutinib; Capecitabine; Cefditoren; Cefpodoxime; Cefuroxime; Clopidogrel; Cysteamine (Systemic); Dacomitinib; Dasatinib; Delavirdine; Doxycycline; Erlotinib; Gefitinib; Indinavir; Iron Salts; Itraconazole; Ketoconazole (Systemic); Ledipasvir; Mesalamine; Multivitamins/Minerals (with ADEK, Folate, Iron); Mycophenolate;

C) The levels/effects of Pantoprazole may be decreased by: CYP2C19 Inducers (Strong); Dabrafenib; Enzalutamide; Lumacaftor; Tipranavir.

D) Pantoprazole may increase the levels/effects of: Amphetamine; Dexmethylphenidate; Dextroamphetamine; Itraconazole; Methotrexate; Methylphenidate; Raltegravir; Risedronate; Saquinavir; Voriconazole

E) The levels/effects of Pantoprazole may be increased by: Fluconazole; Ketoconazole (Systemic); Voriconazole.

9- Elimination

Half-life: 1 hr; increased to 3.5-10 hr with CYP2C19 deficiency

Dialyzable: No

Renal clearance: 0.1 L/hr/kg

Total body clearance: 7.6-14 L/hr

Excretion: Urine (secration) (71%); feces (18%)